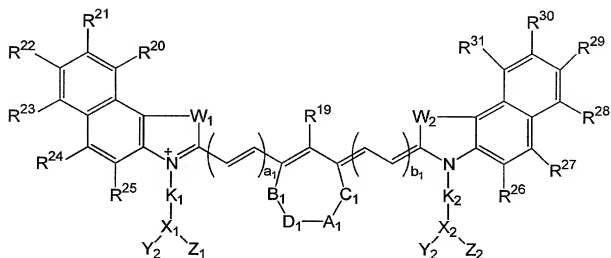


What is claimed is:

10071779.020702

1. A composition comprising carbocyanine dye bioconjugate of formula 4



wherein  $W_1$  and  $W_2$  may be the same or different and are selected from the

- 5 group consisting of  $-CR^{10}R^{11}$ ,  $-O-$ ,  $-NR^{12}$ ,  $-S-$ , and  $-Se-$ ;  $Y_1$ ,  $Y_2$ ,  $Z_1$ , and  $Z_2$  are independently selected from the group consisting of hydrogen, tumor-specific agent, phototherapy agent,  $-CONH-Bm$ ,  $-NHCO-Bm$ ,  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-$   
 $(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-$   
 $Bm$ ,  $-(CH_2)_a-N(R^{12})-(CH_2)_b-CONH-Bm$ ,  $-(CH_2)_a-N(R^{12})-(CH_2)_c-NHCO-Bm$ ,  $-(CH_2)_a-$   
10  $N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-$   
 $NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-$   
 $CH_2-N(R^{12})-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-$   
 $CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-NHCO-Bm$ ,  $-CONH-$

Dm, -NHCO-Dm,  $-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ ,  
 $-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-(CH_2)_a-N(R^{12})-(CH_2)_b-$   
 $CONH-Dm$ ,  $-(CH_2)_a-N(R^{12})-(CH_2)_c-NHCO-Dm$ ,  $-(CH_2)_a-N(R^{12})-CH_2-(CH_2OCH_2)_b-$   
 $CH_2-CONH-Dm$ ,  $-(CH_2)_a-N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-CH_2-$

5  $(CH_2OCH_2)_b-CH_2-N(R^{12})-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-$   
 $(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-CONH-Dm$ ,  
 $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-NHCO-Dm$ ,  $-(CH_2)_a-N R^{12}R^{13}$ ,  
and  $-CH_2(CH_2OCH_2)_b-CH_2N R^{12}R^{13}$ ;  $K_1$  and  $K_2$  are independently selected from  
the group consisting of  $C_1-C_{30}$  alkyl,  $C_6-C_{30}$  aryl,  $C_1-C_{30}$  alkoxy,  $C_1-C_{30}$

10 polyalkoxyalkyl,  $C_1-C_{30}$  polyhydroxyalkyl,  $C_6-C_{30}$  polyhydroxyaryl,  $C_1-C_{30}$   
aminoalkyl, saccharide, peptide,  $-CH_2(CH_2OCH_2)_b-CH_2-$ ,  $-(CH_2)_a-CO-$ ,  $-(CH_2)_a-$   
 $CONH-$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-$ ,  $-(CH_2)_a-NHCO-$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-$   
 $NHCO-$ ,  $-(CH_2)_a-O-$ , and  $-CH_2-(CH_2OCH_2)_b-CO-$ ;  $X_1$  and  $X_2$  are single bonds, or  
are independently selected from the group consisting of nitrogen, saccharide,

15  $-CR^{14}$ ,  $-CR^{14}R^{15}$ ,  $-NR^{16}R^{17}$ ;  $C_5-C_{30}$  aryl;  $Q$  is a single bond or is selected from  
the group consisting of  $-O-$ ,  $-S-$ ,  $-Se-$ , and  $-NR^{18}$ ;  $A_1$  is a single or a double  
bond;  $B_1$ ,  $C_1$ , and  $D_1$  are independently selected from the group consisting of  
 $-O-$ ,  $-S-$ ,  $-Se-$ ,  $-P-$ ,  $-CR^{10}R^{11}$ ,  $-CR^{11}$ , alkyl,  $NR^{12}$ , and  $-C=O$ ;  $A_1$ ,  $B_1$ ,  $C_1$ , and  $D_1$   
may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-

20 membered heterocyclic ring optionally containing one or more oxygen, nitrogen,  
or sulfur atom;  $a_1$  and  $b_1$  independently vary from 0 to 5;  $R^{10}$  to  $R^{13}$ , and  $R^{18}$  to  
 $R^{31}$  are independently selected from the group consisting of hydrogen,  $C_1-C_{10}$   
alkyl,  $C_6-C_{20}$  aryl,  $C_1-C_{10}$  alkoxy,  $C_1-C_{10}$  polyalkoxyalkyl,  $C_1-C_{20}$  polyhydroxyalkyl,  
 $C_6-C_{20}$  polyhydroxyaryl,  $C_1-C_{10}$  aminoalkyl, cyano, nitro, halogen, saccharide,  
25 peptide,  $-CH_2(CH_2OCH_2)_b-CH_2-OH$ ,  $-(CH_2)_a-CO_2H$ ,  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-$

- (CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; R<sup>14</sup> to R<sup>17</sup> are independently selected from the group consisting of hydrogen, C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>5</sub>-C<sub>20</sub> aryl, C<sub>1</sub>-C<sub>10</sub> alkoxy, C<sub>1</sub>-C<sub>10</sub> polyalkoxyalkyl, C<sub>1</sub>-C<sub>20</sub> polyhydroxyalkyl, C<sub>5</sub>-C<sub>20</sub> polyhydroxyaryl,
- 5 C<sub>1</sub>-C<sub>10</sub> aminoalkyl, saccharide, peptide, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-, -(CH<sub>2</sub>)<sub>a</sub>-CO-, -(CH<sub>2</sub>)<sub>a</sub>-CONH-, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-, -(CH<sub>2</sub>)<sub>a</sub>-O-, and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO-; Bm and Dm are independently selected from the group consisting of bioactive peptide, protein, cell, antibody, antibody fragment, saccharide, glycopeptide,
- 10 peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal complex, echogenic agent, photoactive molecule, and phototherapy agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100.

2. The compound of claim 1 wherein W<sub>1</sub> and W<sub>2</sub> are independently selected from the group consisting of -C(CH<sub>3</sub>)<sub>2</sub>-, -C((CH<sub>2</sub>)<sub>a</sub>OH)CH<sub>3</sub>-, -C((CH<sub>2</sub>)<sub>a</sub>OH)<sub>2</sub>-, -C((CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>H)CH<sub>3</sub>-, -C((CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>H)<sub>2</sub>-, -C((CH<sub>2</sub>)<sub>a</sub>NH<sub>2</sub>)CH<sub>3</sub>-, C((CH<sub>2</sub>)<sub>a</sub>NH<sub>2</sub>)<sub>2</sub>-, C((CH<sub>2</sub>)<sub>a</sub>NR<sup>12</sup>R<sup>13</sup>)<sub>2</sub>-, -NR<sup>12</sup>-, and -S-; Y<sub>1</sub> and Y<sub>2</sub> are selected from
- 5 the group consisting of hydrogen, tumor-specific agent, -CONH-Bm, -NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>12</sup>R<sup>13</sup>-, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>12</sup>R<sup>13</sup>; Z<sub>1</sub> and Z<sub>2</sub> are independently selected from the group consisting of hydrogen, phototherapy agent, -CONH-Dm, -NHCO-Dm, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm,
- 10 -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>12</sup>R<sup>13</sup>-, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>12</sup>R<sup>13</sup>; K<sub>1</sub> and K<sub>2</sub> are

- independently selected from the group consisting of C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>5</sub>-C<sub>20</sub> aryl, C<sub>1</sub>-C<sub>20</sub> alkoxy, C<sub>1</sub>-C<sub>20</sub> aminoalkyl, -(CH<sub>2</sub>)<sub>a</sub>-CO-, -(CH<sub>2</sub>)<sub>a</sub>-CONH-, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-, and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO-; X<sub>1</sub> and X<sub>2</sub> are single bonds, or are independently selected
- 5 from the group consisting of nitrogen, -CR<sup>14</sup>-, -CR<sup>14</sup>R<sup>15</sup>, and -NR<sup>16</sup>R<sup>17</sup>; A<sub>1</sub> is a single or a double bond; B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> are independently selected from the group consisting of -O-, -S-, -CR<sup>11</sup>, alkyl, -NR<sup>12</sup>, and -C=O; A<sub>1</sub>, B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen,
- 10 or sulfur atom; a<sub>1</sub> and b<sub>1</sub> independently vary from 0 to 3; Bm is selected from the group consisting of bioactive peptide containing 2 to 30 amino acid units, protein, antibody fragment, mono- and oligosaccharide; bioactive peptide, protein, and oligosaccharide; Dm is selected from the group consisting of photosensitizer, photoactive molecule, and phototherapy agent; a and c
- 15 independently vary from 1 to 20; b and d independently vary from 1 to 100.

3. The composition of claim 2 wherein each W<sup>1</sup> and W<sup>2</sup> is -C(CH<sub>3</sub>)<sub>2</sub>; each K<sub>1</sub> and K<sub>2</sub> is -(CH<sub>2</sub>)<sub>4</sub>CO-; each X<sub>1</sub> and X<sub>2</sub> is a single bond; A<sub>1</sub> is a single bond; each B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> is -CH<sub>2</sub>-; R<sup>19</sup> is Cl; each R<sup>20</sup> to R<sup>31</sup>, Y<sub>1</sub> and Z<sub>1</sub> is H; Y<sub>2</sub> is a tumor-specific agent; and Z<sub>2</sub> is a phototherapy agent.

4. The compound according to claim 3 wherein the said tumor-specific agent is a bioactive peptide containing 2 to 30 amino acid units.

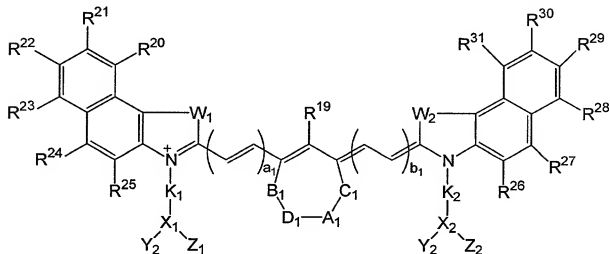
5. The compound according to claim 4 wherein the said tumor-specific agent is octreotate and bombesin (7-14).

6. The compound according to claim 3 wherein the said phototherapy agent is a photosensitizer.

7. The compound according to claim 6 wherein the said photosensitizer is 2-[1-hexyloxyethyl]-2-devinylpyropheophorbide-a.

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8. A method for performing a diagnostic and therapeutic procedure comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate of Formula 4



- 5 wherein  $W_1$  and  $W_2$  may be the same or different and are selected from the group consisting of  $-CR^{10}R^{11}$ ,  $-O-$ ,  $-NR^{12}$ ,  $-S-$ , and  $-Se-$ ;  $Y_1$ ,  $Y_2$ ,  $Z_1$ , and  $Z_2$  are independently selected from the group consisting of hydrogen, tumor-specific agent, phototherapy agent,  $-CONH-Bm$ ,  $-NHCO-Bm$ ,  $-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-$
- 10  $Bm$ ,  $-(CH_2)_a-N(R^{12})-(CH_2)_b-CONH-Bm$ ,  $-(CH_2)_a-N(R^{12})-(CH_2)_c-NHCO-Bm$ ,  $-(CH_2)_a-N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm$ ,  $-(CH_2)_a-N(R^{12})-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-(CH_2)_a-NHCO-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^{12})-CH_2-(CH_2OCH_2)_d-$

CONH-Bm,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{N}(\text{R}^{12})-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_d-\text{NHCO-Bm}$ ,  $-\text{CONH-Dm}$ ,  $-\text{NHCO-Dm}$ ,  $-(\text{CH}_2)_a-\text{CONH-Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH-Dm}$ ,  $-(\text{CH}_2)_a-\text{NHCO-Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO-Dm}$ ,  $-(\text{CH}_2)_a-\text{N}(\text{R}^{12})-(\text{CH}_2)_b-\text{CONH-Dm}$ ,  $-(\text{CH}_2)_a-\text{N}(\text{R}^{12})-(\text{CH}_2)_c-\text{NHCO-Dm}$ ,  $-(\text{CH}_2)_a-\text{N}(\text{R}^{12})-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-$

5  $\text{CH}_2-\text{CONH-Dm}$ ,  $-(\text{CH}_2)_a-\text{N}(\text{R}^{12})-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO-Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{N}(\text{R}^{12})-(\text{CH}_2)_a-\text{CONH-Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{N}(\text{R}^{12})-(\text{CH}_2)_a-\text{NHCO-Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{N}(\text{R}^{12})-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_d-\text{CONH-Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{N}(\text{R}^{12})-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_d-\text{NHCO-Dm}$ ,  $-(\text{CH}_2)_a-\text{N}(\text{R}^{12})-\text{R}^{13}$ , and  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{N}(\text{R}^{12})-\text{R}^{13}$ ;  $\text{K}_1$  and  $\text{K}_2$  are independently selected from

10 the group consisting of  $\text{C}_1-\text{C}_{30}$  alkyl,  $\text{C}_5-\text{C}_{30}$  aryl,  $\text{C}_1-\text{C}_{30}$  alkoxy,  $\text{C}_1-\text{C}_{30}$  polyalkoxyalkyl,  $\text{C}_1-\text{C}_{30}$  polyhydroxyalkyl,  $\text{C}_5-\text{C}_{30}$  polyhydroxyaryl,  $\text{C}_1-\text{C}_{30}$  aminoalkyl, saccharide, peptide,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-$ ,  $-(\text{CH}_2)_a-\text{CO-}$ ,  $-(\text{CH}_2)_a-\text{CONH-}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH-}$ ,  $-(\text{CH}_2)_a-\text{NHCO-}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO-}$ ,  $-(\text{CH}_2)_a-\text{O-}$ , and  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CO-}$ ;  $\text{X}_1$  and  $\text{X}_2$  are single bonds, or

15 are independently selected from the group consisting of nitrogen, saccharide,  $-\text{CR}^{14}-$ ,  $-\text{CR}^{14}\text{R}^{15}$ ,  $-\text{NR}^{16}\text{R}^{17}$ ;  $\text{C}_5-\text{C}_{30}$  aryl;  $\text{Q}$  is a single bond or is selected from the group consisting of  $-\text{O-}$ ,  $-\text{S-}$ ,  $-\text{Se-}$ , and  $-\text{NR}^{18}$ ;  $\text{A}_1$  is a single or a double bond;  $\text{B}_1$ ,  $\text{C}_1$ , and  $\text{D}_1$  are independently selected from the group consisting of  $-\text{O-}$ ,  $-\text{S-}$ ,  $-\text{Se-}$ ,  $-\text{P-}$ ,  $-\text{CR}^{10}\text{R}^{11}$ ,  $-\text{CR}^{11}$ , alkyl,  $-\text{NR}^{12}$ , and  $-\text{C=O}$ ;  $\text{A}_1$ ,  $\text{B}_1$ ,  $\text{C}_1$ , and  $\text{D}_1$

20 may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_1$  and  $b_1$  independently vary from 0 to 5;  $\text{R}^{10}$  to  $\text{R}^{13}$ , and  $\text{R}^{18}$  to  $\text{R}^{31}$  are independently selected from the group consisting of hydrogen,  $\text{C}_1-\text{C}_{10}$  alkyl,  $\text{C}_5-\text{C}_{20}$  aryl,  $\text{C}_1-\text{C}_{10}$  alkoxy,  $\text{C}_1-\text{C}_{10}$  polyalkoxyalkyl,  $\text{C}_1-\text{C}_{20}$  polyhydroxyalkyl,  $\text{C}_5-\text{C}_{20}$  polyhydroxyaryl,  $\text{C}_1-\text{C}_{10}$  aminoalkyl, cyano, nitro, halogen, saccharide,

25



- peptide,  $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{OH}$ ,  $-(\text{CH}_2)_a-\text{CO}_2\text{H}$ ,  $-(\text{CH}_2)_a-\text{CONH-Bm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH-Bm}$ ,  $-(\text{CH}_2)_a-\text{NHCO-Bm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO-Bm}$ ,  $-(\text{CH}_2)_a-\text{OH}$  and  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CO}_2\text{H}$ ;  $\text{R}^{14}$  to  $\text{R}^{17}$  are independently selected from the group consisting of hydrogen,  $\text{C}_1$ - $\text{C}_{10}$  alkyl,  $\text{C}_5$ - $\text{C}_{20}$  aryl,  $\text{C}_1$ - $\text{C}_{10}$  alkoxy,  $\text{C}_1$ - $\text{C}_{10}$  polyalkoxyalkyl,  $\text{C}_1$ - $\text{C}_{20}$  polyhydroxyalkyl,  $\text{C}_5$ - $\text{C}_{20}$  polyhydroxyaryl,  $\text{C}_1$ - $\text{C}_{10}$  aminoalkyl, saccharide, peptide,  $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-$ ,  $-(\text{CH}_2)_a-\text{CO}-$ ,  $-(\text{CH}_2)_a-\text{CONH}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH}$ ,  $-(\text{CH}_2)_a-\text{NHCO}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO}$ ,  $-(\text{CH}_2)_a-\text{O}$ , and  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CO}$ ; Bm and Dm are independently selected from the group consisting of bioactive peptide,
- 10 protein, cell, antibody, antibody fragment, saccharide, glycopeptide, peptidomimetic, drug, drug mimic, hormone, metal chelating agent, radioactive or nonradioactive metal complex, echogenic agent, photoactive molecule, and phototherapy agent; a and c independently vary from 1 to 20; b and d independently vary from 1 to 100; and
- 15 thereafter, performing said procedure.

9. The method for performing the diagnostic and therapeutic procedure of claim 8 which comprises administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein  $W_1$  and  $W_2$  are independently selected from the group consisting of  $-\text{C}(\text{CH}_3)_2$ ,

5  $-\text{C}((\text{CH}_2)_a\text{OH})\text{CH}_3$ ,  $-\text{C}((\text{CH}_2)_a\text{OH})_2$ ,  $-\text{C}((\text{CH}_2)_a\text{CO}_2\text{H})\text{CH}_3$ ,  $-\text{C}((\text{CH}_2)_a\text{CO}_2\text{H})_2$ ,  $-\text{C}((\text{CH}_2)_a\text{NH}_2)\text{CH}_3$ ,  $-\text{C}((\text{CH}_2)_a\text{NH}_2)_2$ ,  $-\text{C}((\text{CH}_2)_a\text{NR}^{12}\text{R}^{13})_2$ ,  $-\text{NR}^{12}$ , and  $-\text{S}$ ;  $\text{Y}_1$  and  $\text{Y}_2$  are selected from the group consisting of hydrogen, tumor-specific agent,  $-\text{CONH-Bm}$ ,  $-\text{NHCO-Bm}$ ,  $-(\text{CH}_2)_a-\text{CONH-Bm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH-Bm}$ ,  $-(\text{CH}_2)_a-\text{NHCO-Bm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO-Bm}$ ,  $-(\text{CH}_2)_a-\text{NR}^{12}\text{R}^{13}$ , and

$-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2\text{NR}^{12}\text{R}^{13}$ ;  $Z_1$  and  $Z_2$  are independently selected from the group consisting of hydrogen, phototherapy agent,  $-\text{CONH}-\text{Dm}$ ,  $-\text{NHCO}-\text{Dm}$ ,  $-(\text{CH}_2)_a-\text{CONH}-\text{Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH}-\text{Dm}$ ,  $-(\text{CH}_2)_a-\text{NHCO}-\text{Dm}$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO}-\text{Dm}$ ,  $-(\text{CH}_2)_a-\text{N R}^{12}\text{R}^{13}$ , and  $-\text{CH}_2(\text{CH}_2\text{OCH}_2)_b-$

- 5  $\text{CH}_2\text{N R}^{12}\text{R}^{13}$ ;  $K_1$  and  $K_2$  are independently selected from the group consisting of  $\text{C}_1-\text{C}_{10}$  alkyl,  $\text{C}_5-\text{C}_{20}$  aryl,  $\text{C}_1-\text{C}_{20}$  alkoxy,  $\text{C}_1-\text{C}_{20}$  aminoalkyl,  $-(\text{CH}_2)_a-\text{CO}-$ ,  $-(\text{CH}_2)_a-\text{CONH}-$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{CONH}-$ ,  $-(\text{CH}_2)_a-\text{NHCO}-$ ,  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CH}_2-\text{NHCO}-$ , and  $-\text{CH}_2-(\text{CH}_2\text{OCH}_2)_b-\text{CO}-$ ;  $X_1$  and  $X_2$  are single bonds, or are independently selected from the group consisting of nitrogen,  $-\text{CR}^{14}-$ ,  $-\text{CR}^{14}\text{R}^{15}$ ,
- 10 and  $-\text{NR}^{16}\text{R}^{17}$ ;  $A_1$  is a single or a double bond;  $B_1$ ,  $C_1$ , and  $D_1$  are independently selected from the group consisting of  $-\text{O}-$ ,  $-\text{S}-$ ,  $-\text{CR}^{11}$ , alkyl,  $-\text{NR}^{12}$ , and  $-\text{C}=\text{O}$ ;  $A_1$ ,  $B_1$ ,  $C_1$ , and  $D_1$  may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_1$  and  $b_1$  independently vary from 0 to 3;  $Bm$  is
- 15 selected from the group consisting of bioactive peptide containing 2 to 30 amino acid units, protein, antibody fragment, mono- and oligosaccharide; bioactive peptide, protein, and oligosaccharide;  $Dm$  is selected from the group consisting of photosensitizer, photoactive molecule, and phototherapy agent;  $a$  and  $c$  independently vary from 1 to 20;  $b$  and  $d$  independently vary from 1 to
- 20 100.

10. The method for performing the diagnostic and therapeutic procedure of claim 9 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein each  $W^1$  and  $W^2$  is  $-\text{C}(\text{CH}_3)_2$ ; each  $K_1$  and  $K_2$  is  $-(\text{CH}_2)_4\text{CO}-$ ; each  $X_1$  and  $X_2$  is a single bond;

A<sub>1</sub> is a single bond; each B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> is -CH<sub>2</sub>-; R<sup>19</sup> is Cl; each R<sup>20</sup> to R<sup>31</sup>, Y<sub>1</sub> and Z<sub>1</sub> is H; Y<sub>2</sub> is a tumor-specific agent; and Z<sub>2</sub> is a phototherapy agent.

11. The method for performing the diagnostic and therapeutic procedure of claim 10 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said tumor-specific agent is a bioactive peptide containing 2 to 30 amino acid units.

12. The method for performing the diagnostic and therapeutic procedure of claim 11 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said tumor-specific agent is octreotate and bombesin (7-14).

13. The method for performing the diagnostic and therapeutic procedure of claim 10 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said phototherapy agent is a photosensitizer.

14. The method for performing the diagnostic and therapeutic procedure of claim 13 comprising administering to an individual an effective amount of the composition of cyanine dye bioconjugate wherein the said photosensitizer is 2-[1-hexyloxyethyl]-2-devinylpyropheophorbide-a.

15. The method of claim 8 wherein said procedure utilizes light of wavelength in the region of 300-1300 nm.

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16. The method of claim 8 wherein the diagnostic procedure is optical tomography.

17. The method of claim 8 wherein said diagnostic procedure is fluorescence endoscopy.

18. The method of claim 8 wherein said procedure further comprises a step of imaging and therapy wherein said imaging and therapy is selected from the group consisting of absorption, light scattering, photoacoustic and sonofluoresence technique.

19. The method of claim 8 wherein said procedure is for diagnosing and treating atherosclerotic plaques and blood clots.

20. The method of claim 8 wherein said procedure comprises administering localized therapy.

21. The method of claim 8 wherein said therapeutic procedure comprises photodynamic therapy.

22. The method of claim 8 wherein said therapeutic procedure comprises laser assisted guided surgery (LAGS) for the detection and treatment of micrometastases.

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